

10/776,626

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NEWS 3 SEP 01 INPADOC: New family current-awareness alert (SDI) available
NEWS 4 SEP 01 New pricing for the Save Answers for SciFinder Wizard within
STN Express with Discover!
NEWS 5 SEP 01 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 6 SEP 27 STANDARDS will no longer be available on STN
NEWS 7 SEP 27 SWETSCAN will no longer be available on STN
NEWS 8 OCT 28 KOREAPAT now available on STN
NEWS 9 NOV 18 Current-awareness alerts, saved answer sets, and current
search transcripts to be affected by CERAB, COMPUAB, ELCOM,
and SOLIDSTATE reloads
NEWS 10 NOV 30 PHAR reloaded with additional data

NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
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NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 19:30:07 ON 30 NOV 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 19:30:15 ON 30 NOV 2004

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STRUCTURE FILE UPDATES: 29 NOV 2004 HIGHEST RN 790629-40-2

DICTIONARY FILE UPDATES: 29 NOV 2004 HIGHEST RN 790629-40-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

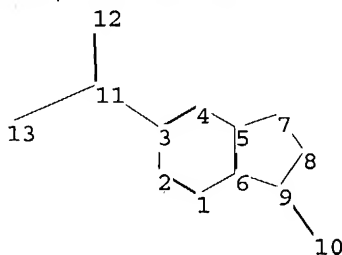
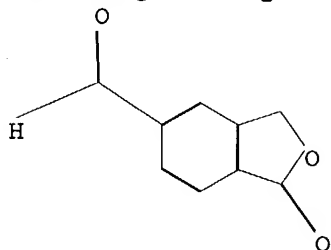
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10776626.str



chain nodes :

10 11 12 13

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

3-11 9-10 11-12 11-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

9-10 11-12

exact bonds :

3-11 5-7 6-9 7-8 8-9 11-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

10/776,626

=> s l1

SAMPLE SEARCH INITIATED 19:30:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2142 TO ITERATE

46.7% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 40064 TO 45616
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 19:30:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 44000 TO ITERATE

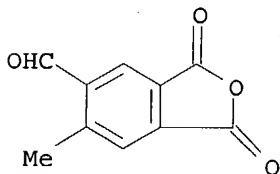
100.0% PROCESSED 44000 ITERATIONS
SEARCH TIME: 00.00.01

8 ANSWERS

L3 8 SEA SSS FUL L1

=> d scan

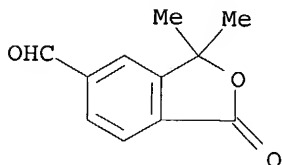
L3 8 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-6-methyl-1,3-dioxo- (9CI)
MF C10 H6 O4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):4

L3 8 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-3,3-dimethyl-1-oxo- (9CI)
MF C11 H10 O3

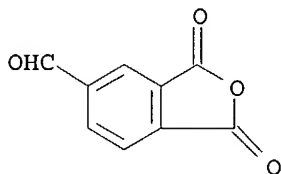


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

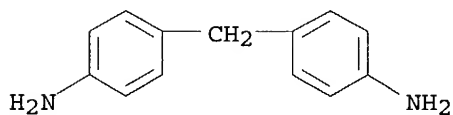
10/776,626

L3 8 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Phthalic anhydride, 4-formyl-, polymer with isophthaloyl chloride and
4,4'-methylenedianiline (8CI)
MF (C13 H14 N2 . C9 H4 O4 . C8 H4 Cl2 O2)x
CI PMS

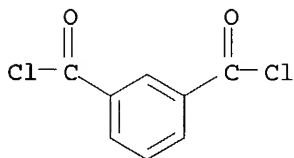
CM 1



CM 2



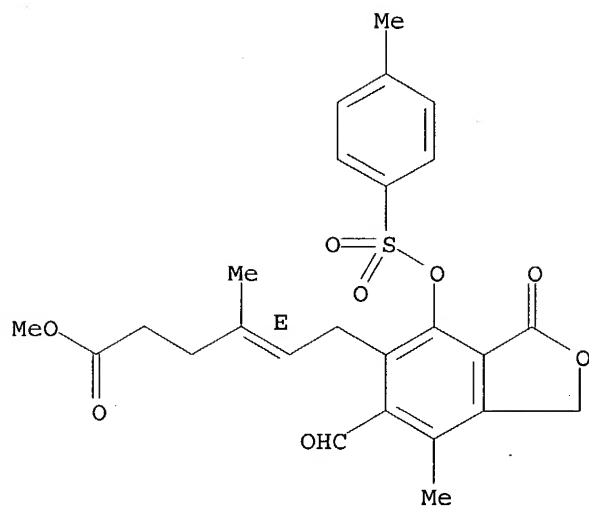
CM 3



L3 8 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 4-Hexenoic acid, 6-[6-formyl-1,3-dihydro-7-methyl-4-[[4-methylphenyl)sulfonyl]oxy]-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl
ester, (E)- (9CI)
MF C25 H26 O8 S

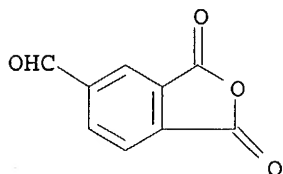
Double bond geometry as shown.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 8 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1,3-dioxo- (9CI)
MF C9 H4 O4
CI COM



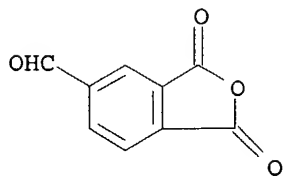
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

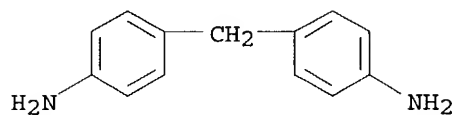
L3 8 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1,2,4,5-Benzenetetracarboxylic 1,2:4,5-dianhydride, polymer with
4-formylphthalic anhydride and 4,4'-methylenedianiline (8CI)
MF (C13 H14 N2 . C10 H2 O6 . C9 H4 O4)x
CI PMS

CM 1

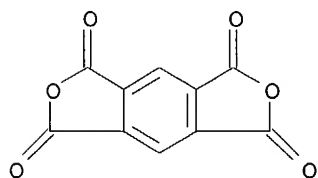
10/776,626



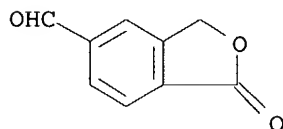
CM 2



CM 3



L3 8 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1-oxo- (9CI)
MF C9 H6 O3

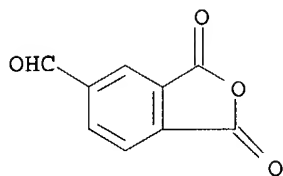


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

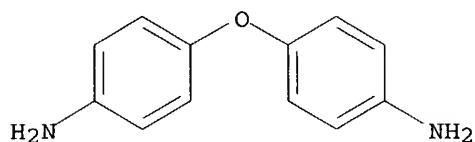
L3 8 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Phthalic anhydride, 4-formyl-, polymer with 4,4'-oxydianiline (8CI)
MF (C12 H12 N2 O . C9 H4 O4)x
CI PMS

CM 1

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CM 2



ALL ANSWERS HAVE BEEN SCANNED

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.84

156.05

STN INTERNATIONAL LOGOFF AT 19:31:39 ON 30 NOV 2004

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal201txs

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	2		"Ask CAS" for self-help around the clock
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NEWS	4	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	5	SEP 01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS	6	SEP 27	STANDARDS will no longer be available on STN
NEWS	7	SEP 27	SWETSCAN will no longer be available on STN
NEWS	8	OCT 28	KOREAPAT now available on STN
NEWS	9	NOV 18	Current-awareness alerts, saved answer sets, and current search transcripts to be affected by CERAB, COMPUAB, ELCOM, and SOLIDSTATE reloads

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NEWS 10 NOV 30 PHAR reloaded with additional data

NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 19:32:30 ON 30 NOV 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 19:32:36 ON 30 NOV 2004

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STRUCTURE FILE UPDATES: 29 NOV 2004 HIGHEST RN 790629-40-2

DICTIONARY FILE UPDATES: 29 NOV 2004 HIGHEST RN 790629-40-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> e 5-formylphthalide/cn

E1	1	5-FORMYLPENTANOIC ACID/CN
E2	1	5-FORMYLPENTANOIC ACID, METHYL ESTER/CN
E3	1 -->	5-FORMYLPHthalide/CN
E4	1	5-FORMYLPROTocatechualdehyde/CN
E5	1	5-FORMYLPYRAZOLE-3-CARBOXYLIC ACID ETHYL ESTER/CN
E6	1	5-FORMYLPYRIDINE-3-CARBOXYLIC ACID ETHYL ESTER/CN
E7	1	5-FORMYLPYRIMIDINE/CN

10/776,626

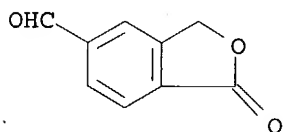
E8 1 5-FORMYLPYRROLE-2-CARBOXYLIC ACID/CN
E9 1 5-FORMYLQUINOLINE/CN
E10 1 5-FORMYLSALICYLALDEHYDE/CN
E11 1 5-FORMYLSALICYLAMIDE/CN
E12 1 5-FORMYLSALICYLIC ACID/CN

=> s e3

L1 1 5-FORMYLPHthalide/CN

=> d scan

L1 1 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1-oxo- (9CI)
MF C9 H6 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
5.27	5.48

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 19:34:05 ON 30 NOV 2004
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FILE COVERS 1907 - 30 Nov 2004 VOL 141 ISS 23
FILE LAST UPDATED: 29 Nov 2004 (20041129/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l1

L2 7 L1

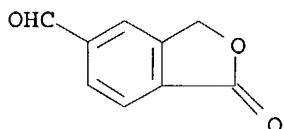
10/776,626

=> d 12 ibib hitstr abs 1-7

L2 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:391288 CAPLUS
DOCUMENT NUMBER: 140:391286
TITLE: Preparation of water-soluble triazole fungicides
INVENTOR(S): Mori, Makoto; Mikoshima, Yoshiko; Koso, Toshiyuki;
Shibayama, Takahiro; Uchida, Takuya
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 230 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004137255	A2	20040513	JP 2003-208038	20030820
PRIORITY APPLN. INFO.: OTHER SOURCE(S):			JP 2002-241934	A 20020822

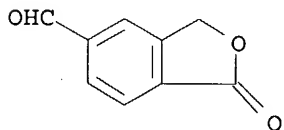
IT 333333-34-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of water-soluble triazole fungicides)
RN 333333-34-9 CAPLUS
CN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



AB The title triazole compds. XOCOLOR [wherein X represents such a group that the compound represented by the formula XOH has antifungal activity; L represents (C6-10 aryl)CH₂, etc.; further detail on said aryl is given; and R represents P(:O)(OH)₂, etc.] are prepared The conversion of one compound of this invention into a fungicidal metabolite by human liver microsomes was demonstrated. A formulation is given.

L2 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:96296 CAPLUS
DOCUMENT NUMBER: 138:137159
TITLE: Hydrogenation process and catalysts for the
preparation of 5-formylphthalide useful as an
intermediate in the manufacture of the antidepressant
citalopram
INVENTOR(S): Dall'asta, Leone; Cotticelli, Giovanni
PATENT ASSIGNEE(S): Infosint SA, Switz.
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1281708	A1	20030205	EP 2001-830518	20010802
EP 1281708	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 267821	E	20040615	AT 2001-830518	20010802
WO 2003011847	A1	20030213	WO 2002-EP8551	20020729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2002011857	A	20040921	BR 2002-11857	20020729
US 2004225136	A1	20041111	US 2004-776626	20040131
PRIORITY APPLN. INFO.:			EP 2001-830518	A 20010802
			WO 2002-EP8551	W 20020729
OTHER SOURCE(S):		CASREACT 138:137159		
IT	333333-34-9P			
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
(hydrogenation process and catalysts for the preparation of 5-formylphthalide useful as an intermediate in the manufacture of the antidepressant citalopram)				
RN	333333-34-9 CAPLUS			
CN	5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)			



AB 5-Formylphthalide, useful as an intermediate in the manufacture of the antidepressant citalopram, is prepared by hydrogenation of 5-(halocarbonyl)phthalide [e.g., 5-(chlorocarbonyl)phthalide], dissolved in a dipolar aprotic solvent (e.g., N,N-dimethylacetamide), in the presence of a hydrogenation catalyst (e.g., 5% Pd/BaSO₄).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:96293 CAPLUS

DOCUMENT NUMBER: 138:137156

TITLE: Process for the preparation of 5-substituted isobenzofurans including citalopram

INVENTOR(S): Dall'asta, Leone; Cotticelli, Giovanni

PATENT ASSIGNEE(S): Infosint SA, Switz.

SOURCE: Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

10/776,626

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1281707	A1	20030205	EP 2001-830517	20010802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2003011846	A2	20030213	WO 2002-EP8550	20020729
WO 2003011846	A3	20031127		
WO 2003011846	B1	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2002011858	A	20040921	BR 2002-11858	20020729
US 2004230065	A1	20041118	US 2004-776625	20040131
PRIORITY APPLN. INFO.:			EP 2001-830517	A 20010802
			WO 2002-EP8550	W 20020729

OTHER SOURCE(S): CASREACT 138:137156; MARPAT 138:137156

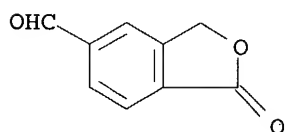
IT 333333-34-9P, 5-Formylphthalide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

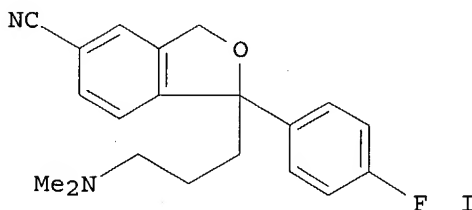
(process for preparation of 5-substituted isobenzofurans including citalopram)

RN 333333-34-9 CAPLUS

CN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



GI



AB There is described a process for the preparation of citalopram (shown as I) and of its pharmaceutically acceptable salts, which comprises treating a 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbaldoxime, O-substituted preferably with a diphenylmethyl

or triphenylmethyl group, with formic-acetic anhydride. Furthermore, the total synthesis of citalopram, as free base or as its pharmaceutically acceptable salt, starting from 5-formylphthalide is described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:658113 CAPLUS

DOCUMENT NUMBER: 137:201316

TITLE: Preparation of water-soluble triazole fungicides

INVENTOR(S): Mori, Makoto; Kagoshima, Yoshiko; Uchida, Takuya;

Konosu, Toshiyuki; Shibayama, Takahiro

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 301 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066465	A1	20020829	WO 2002-JP1500	20020220
W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PH, PL, RU, SG, SK, US, VN, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2439001	AA	20020829	CA 2002-2439001	20020220
EP 1362856	A1	20031119	EP 2002-701569	20020220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
BR 2002007534	A	20040720	BR 2002-7534	20020220
NZ 527693	A	20041029	NZ 2002-527693	20020220
JP 2002322176	A2	20021108	JP 2002-44541	20020221
US 2004198790	A1	20041007	US 2003-647023	20030820
NO 2003003723	A	20031021	NO 2003-3723	20030821
PRIORITY APPLN. INFO.:			JP 2001-46890	A 20010222
			WO 2002-JP1500	W 20020220

OTHER SOURCE(S): MARPAT 137:201316

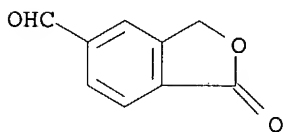
IT 333333-34-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of water-soluble triazole fungicides)

RN 333333-34-9 CAPLUS

CN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



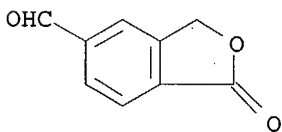
AB The title triazole compds. XOCOLOR [wherein X represents such a group that the compound represented by the formula XOH has antifungal activity; L represents (C6-10 aryl)CH₂, etc.; further detail on said aryl is given; and R represents P(:O)(OH)₂, etc.] are prepared The conversion of one compound of this invention into a fungicidal metabolite by human liver microsomes was demonstrated. A formulation is given.

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REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:465993 CAPLUS
DOCUMENT NUMBER: 137:47102
TITLE: A process for the preparation of citalopram
INVENTOR(S): Guazzi, Giuseppe
PATENT ASSIGNEE(S): C.D. Farmasint S.r.l., Italy
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048133	A2	20020620	WO 2001-EP14523	20011211
WO 2002048133	A3	20011114		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002029648	A5	20020624	AU 2002-29648	20011211
PRIORITY APPLN. INFO.:			IT 2000-MI2674	A 20001212
			WO 2001-EP14523	W 20011211
OTHER SOURCE(S):		CASREACT 137:47102; MARPAT 137:47102		
IT 333333-34-9P				
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (a process for the preparation of citalopram)				
RN 333333-34-9 CAPLUS				
CN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)				



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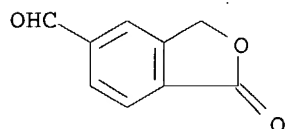
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for the preparation of citalopram I, a well known antidepressant, comprising the transformation of II [R = alkyl]. Compound II is reacted in sequence with a Grignard reagent of 4-fluorophenyl halide and a Grignard reagent of a 3-halo-N,N-dimethylpropylamine, resp., giving a compound III.

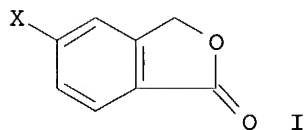
Compound III is hydrolyzed to a compound IV, which is converted to a 5-oxime V by means of hydroxylamine, submitted to cyclization and converted to the corresponding 5-cyano derivative, i.e. citalopram I.

L2 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:289970 CAPLUS
 DOCUMENT NUMBER: 134:311097
 TITLE: Preparation of phthalans, their intermediates, and citalopram
 INVENTOR(S): Ikemoto, Tetsuya; Kobori, Kazuhiro; Iki, Masaki
 PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001114773	A2	20010424	JP 1999-292076	19991014
PRIORITY APPLN. INFO.:			JP 1999-292076	19991014
OTHER SOURCE(S):	CASREACT 134:311097; MARPAT 134:311097			
IT 333333-34-9	RL: RCT (Reactant); RACT (Reactant or reagent) (preparation citalopram from phthalides via isobenzofurancarbaldehyde)			
RN 333333-34-9	CAPLUS			
CN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1-oxo-	(9CI) (CA INDEX NAME)			



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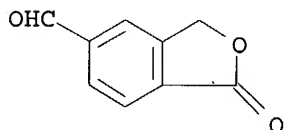
AB Citalopram is prepared from phthalides I (X = protected formyl group) via 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbaldehyde (II). 5-(1,3-Dioxolan-2-yl)phthalide was reacted with reagent containing 1-bromo-4-fluorobenzene and Mg in THF at room temperature for 2 h, reacted with reagent containing 3-(dimethylamino)propyl chloride and Mg at room temperature for 18 h, and treated with H₃PO₄ at 80° for 2 h to give 65% II, which was reacted with hydroxylamine hydrochloride in the presence of Et₃N in acetonitrile at room temperature for 15 h to give 89% citalopram.

L2 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

10/776,626

ACCESSION NUMBER: 2001:270419 CAPLUS
DOCUMENT NUMBER: 134:280701
TITLE: Preparation of 5-cyanophthalide and its intermediates,
5-halogenomethylphthalide and 5-formylphthalide using
no toxic substances
INVENTOR(S): Ikemoto, Tetsuya; Kobori, Kazuhiro; Iki, Seimi
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001106681	A2	20010417	JP 1999-287313	19991007
PRIORITY APPLN. INFO.:			JP 1999-287313	19991007
OTHER SOURCE(S):	CASREACT 134:280701; MARPAT 134:280701			
IT 333333-34-9P				
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
	(preparation of 5-cyanophthalide as intermediate for citalopram)			
RN 333333-34-9 CAPLUS				
CN 5-Isobenzofurancarboxaldehyde, 1,3-dihydro-1-oxo-	(9CI) (CA INDEX NAME)			



AB 5-Cyanophthalide, useful as an intermediate for citalopram (antidepressant), is prepared by dihalogenation of 2,4-dimethylbenzoic acid or its lower alkyl esters, cyclization, formylation of the resulting 5-chloro- or 5-bromomethylphthalide, and cyanation. Thus, formylation of 5-bromomethylphthalide with hexamethylenetetramine and H₂O in 80% AcOH under reflux for 2 h gave 81% 5-formylphthalide, which was treated with NH₂OH.HCl in the presence of Et₃N at 65° for 1 h in MePh and further treated with Ac₂O at 120-125° for 3 h to afford 69% 5-cyanophthalide.

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
33.76	39.24

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-4.90	-4.90

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STN INTERNATIONAL LOGOFF AT 19:34:39 ON 30 NOV 2004